ABSTRACT

uPAR-targeting cyclic peptide compounds have 11 amino acids that correspond to human uPA(20-30) [SEQ ID NO:2], or are substitution variants at selected positions. The N and C terminal residues of these peptides are joined by a linking group L, so that the linear dimension between the α-carbons of the first and the eleventh amino acids is between about 4 and 12 Ångstrom units. These cyclic peptides may be further conjugated to diagnostic labels or therapeutic moieties such as radionuclides. Such compounds are useful for targeting uPAR expressed in pathological tissues and for inhibiting the binding of uPA to the uPAR. The pharmaceutical and therapeutic compositions inhibit cell migration, cell invasion, cell proliferation or angiogenesis, or induce apoptosis, and are thus useful for treating diseases or condition associated with undesired cell migration, invasion, proliferation, or angiogenesis, most notably cancer. The cyclic peptides are also used to detect and isolate cells expressing uPAR.

add a7